

(FILE 'HOME' ENTERED AT 16:46:24 ON 12 MAY 2005)

L1 FILE 'CAPLUS' ENTERED AT 16:46:35 ON 12 MAY 2005  
STRUCTURE UPLOADED  
S L1

L2 FILE 'REGISTRY' ENTERED AT 16:48:14 ON 12 MAY 2005  
0 S L1

L3 FILE 'CAPLUS' ENTERED AT 16:48:15 ON 12 MAY 2005  
0 S L2  
S L1

L4 FILE 'REGISTRY' ENTERED AT 16:48:19 ON 12 MAY 2005  
3 S L1 FULL

L5 FILE 'CAPLUS' ENTERED AT 16:48:21 ON 12 MAY 2005  
9 S L4 FULL  
L6 5 S L5 AND PY<2003  
L7 0 S L6 AND POLYMORPH?

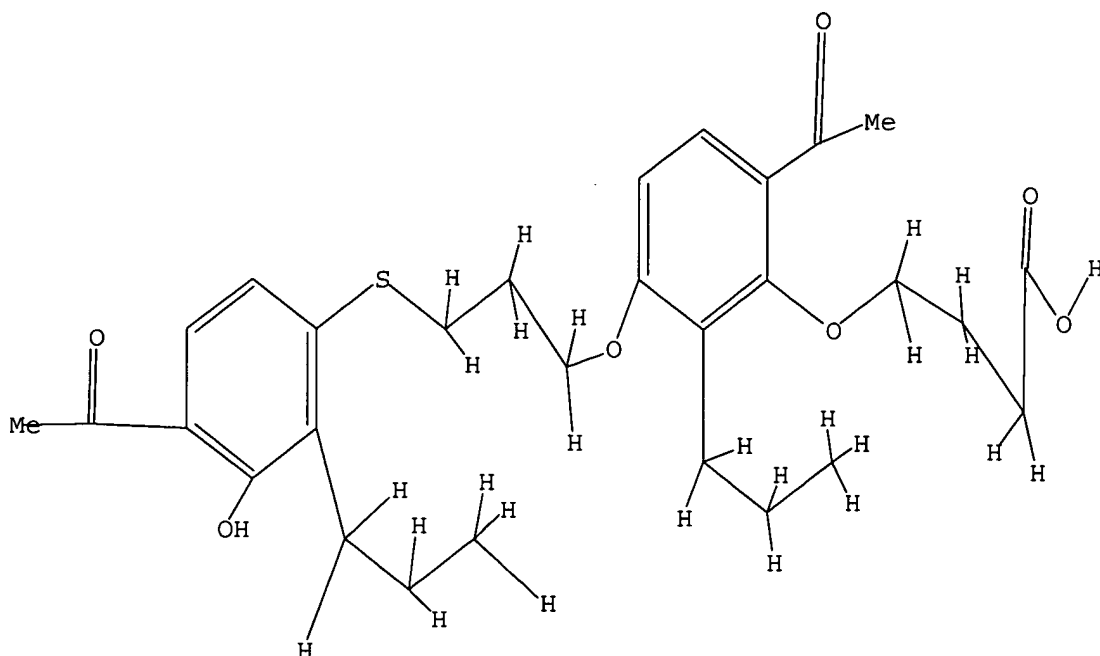
=>

L1        STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1                STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

**REGISTRY INITIATED**

Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 16:48:15 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED -        9 TO ITERATE

100.0% PROCESSED        9 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                             BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        9 TO        360  
PROJECTED ANSWERS:            0 TO        0

L2                0 SEA SSS SAM L1

L3                0 L2

=> s l1 full

**REGISTRY INITIATED**

Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 16:48:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 265 TO ITERATE

100.0% PROCESSED 265 ITERATIONS 3 ANSWERS  
SEARCH TIME: 00.00.01

L4 3 SEA SSS FUL L1

L5 9 L4

=> s 15 and py<2003  
22590977 PY<2003

L6 5 L5 AND PY<2003

L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:564826 CAPLUS

DOCUMENT NUMBER: 135:142249

TITLE: Eye drop compositions containing leukotriene antagonist KCA-757

INVENTOR(S): Kodaira, Hiromichi; Kozuka, Hitoshi

PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054684	A1	20010802	WO 2001-JP430	20010124 <--
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2397755	AA	20010802	CA 2001-2397755	20010124 <--
AU 2001028804	A5	20010807	AU 2001-28804	20010124 <--
EP 1250924	A1	20021023	EP 2001-946788	20010124 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
TW 526061	B	20030401	TW 2001-90101616	20010129
US 2003083378	A1	20030501	US 2002-181436	20020725
PRIORITY APPLN. INFO.:			JP 2000-17403	A 20000126
			WO 2001-JP430	W 20010124

AB Disclosed are eye drops containing a potent and selective leukotriene antagonist. Specifically, stable eye drops of an aqueous solution or suspension

type, containing as the active ingredient 4-[6-acetyl-3-[3-[(4-acetyl-3-hydroxy-2-propylphenyl)thio]-propoxy]-2-propylphenoxy]butyric acid (KCA-757). An eye drop composition containing KCA-757 0.5 g, 0.1 M NaOH 20 mL, potassium dihydrogenphosphate 0.004, sodium hydrogenphosphate 0.089, NaCl 0.8 g, and 0.1 M HCl q.s. to pH 8.5, and water q.s. to 100 mL was formulated.

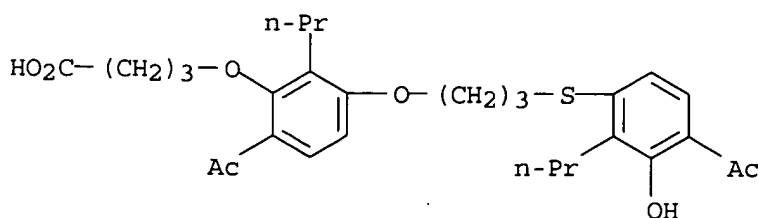
IT 125961-82-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(eye drop compns. containing leukotriene antagonist KCA-757)

RN 125961-82-2 CAPLUS

CN Butanoic acid, 4-[6-acetyl-3-[3-[(4-acetyl-3-hydroxy-2-propylphenyl)thio]propoxy]-2-propylphenoxy] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1999:205557 CAPLUS  
DOCUMENT NUMBER: 130:287054  
TITLE: Powder inhalants containing  
[(propylphenyl)thio]propoxy]propylphenoxybutyrate for  
the treatment of asthma  
INVENTOR(S): Hoshino, Ryoichi  
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

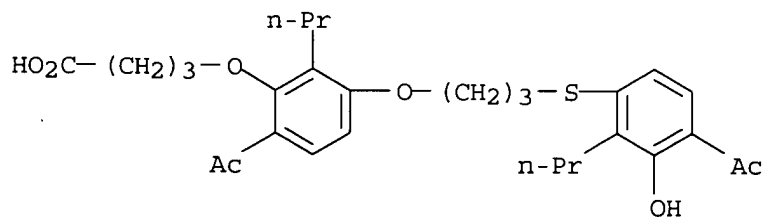
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11079985	A2	19990323	JP 1997-251280	19970901 <--
PRIORITY APPLN. INFO.:			JP 1997-251280	19970901

AB Powder inhalants for the treatment of asthma comprise powdery 4-[6-acetyl-3-[3-(4-acetyl-3-hydroxy-2-propylphenylthio)propoxy]-2-propylphenoxy]butyric acid (I) as an active ingredient. I in combination with lubricants is suspended in an aqueous solution of polymers and spray dried to give a fine powder having an average particle diam  $\leq 6 \mu\text{m}$ . The powders show little self-cohesive properties and little adhesion to a dispersing device. Hydroxypropyl Me cellulose 1.5 g was dissolved in 380 g distilled water and to the solution 0.5 g sucrose fatty acid ester was added, followed by 18 g I. The dispersion was subjected to a high-pressure homogenization and spray-drying to give a dry powder inhalant.

IT 125961-82-2  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(manufacture of antiasthmatic powder inhalants containing  
[(propylphenyl)thiopropoxy]propylphenoxybutyrate and polymers and  
lubricants)

RN 125961-82-2 CAPLUS

CN Butanoic acid, 4-[6-acetyl-3-[3-[(4-acetyl-3-hydroxy-2-propylphenyl)thio]propoxy]-2-propylphenoxy] - (9CI) (CA INDEX NAME)

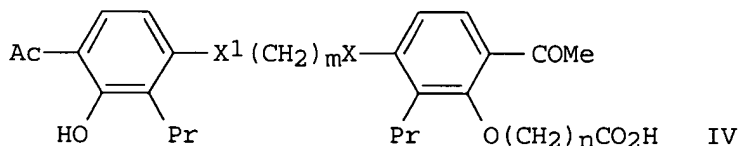
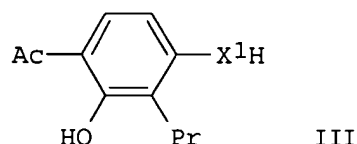
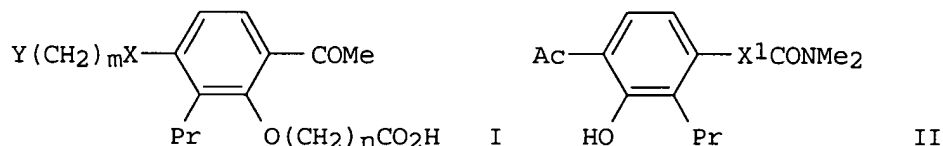


L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1996:379374 CAPLUS  
DOCUMENT NUMBER: 125:58104  
TITLE: Preparation of phenoxy-carboxylic acid derivatives as  
antiallergy agents  
INVENTOR(S): Matsumoto, Toyomi; Ishiguro, Juji; Myashita, Kunio;  
Kitamura, Genichi  
PATENT ASSIGNEE(S): Kyorin Seiyaku Kk, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08081412	A2	19960326	JP 1994-244636	19940913 <--
PRIORITY APPLN. INFO.:			JP 1994-244636	19940913
OTHER SOURCE(S):	CASREACT 125:58104; MARPAT 125:58104			

GI



AB The title derivs. IV ( $m = 2-5$ ;  $n = 3-8$ ;  $X_1 = S, O$ ;  $X = O, S, SO, SO_2$ ;  $X_1 = X \neq O$ ), useful as antiallergy agents (no data), are prepared by treating phenoxy-carboxylic acids I ( $Y = \text{halo}$ ) with hydroxybenzenes III, which is formed by hydrolysis of hydroxyphenyl carbamates II, in one pot. A mixture of 10 g S-(4-acetyl-3-hydroxy-2-propylphenyl) N,N-dimethylthiocarbamate and KOH in  $H_2O$  was treated at  $95^\circ$  for 1.5 h, then treated with 12.7 g 4-[6-acetyl-3-hydroxy-3-(3-chloropropoxy)-2-propylphenoxy]butyric acid at  $35-40^\circ$  for 21 h to give 15.2g 4-[6-acetyl-3-(3-(4-acetyl-3-hydroxy-2-propylphenylthio)propoxy)-2-propylphenoxy]butyric acid.

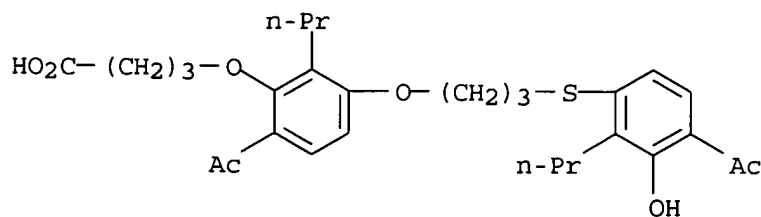
IT **125961-82-2P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenoxy-carboxylic acid as antiallergy agent from phenoxy-carboxylate and hydroxyphenyl carbamate)

RN 125961-82-2 CAPLUS

CN Butanoic acid, 4-[6-acetyl-3-[3-[(4-acetyl-3-hydroxy-2-propylphenyl)thio]propoxy]-2-propylphenoxy] - (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:403614 CAPLUS

DOCUMENT NUMBER: 122:290448

TITLE: Preparation of (acetylpropylphenoxy)alkanoic acids as intermediates for antiallergic leukotriene antagonists

INVENTOR(S): Matsumoto, Toyomi; Aizawa, Yasuhiro; Matsukubo, Hiroshi

PATENT ASSIGNEE(S): Kyorin Seiyaku Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

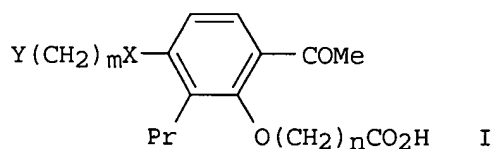
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06345682	A2	19941220	JP 1993-166354	19930611 <--
PRIORITY APPLN. INFO.:			JP 1993-166354	19930611
OTHER SOURCE(S):	MARPAT	122:290448		

GI



AB The title compds. I ( $m = 2-5$ ;  $n = 3-8$ ;  $X = \text{O}, \text{S}, \text{SO}, \text{SO}_2$ ;  $\text{Y} = \text{halo}$ ) are claimed. An aqueous NaOH solution was added dropwise to an EtOH solution of 4-[6-acetyl-3-(3-chloropropoxy)-2-propylphenoxy]butyric acid Et ester (preparation given) at  $18-28^\circ$  and the reaction mixture was stirred at room temperature for 2 h to give 91% 4-[6-acetyl-3-(3-chloropropoxy)-2-propylphenoxy]butyric acid (II). II (21.4 g) and 15.1 g 2-hydroxy-4-mercapto-3-propylacetophenone were dissolved in DMF and the solution was treated with  $\text{K}_2\text{CO}_3$  under stirring at room temperature for 3 h to

give

24.4 g 4-[6-acetyl-3-[3-(4-acetyl-3-hydroxy-2-propylphenylthio)propoxy]-2-propylphenoxy]butyric acid as a leukotriene antagonist.

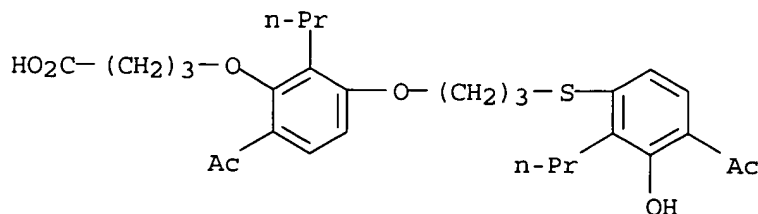
IT **125961-82-2P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of (acetylpropylphenoxy)alkanoic acids as intermediates for leukotriene antagonists)

RN 125961-82-2 CAPLUS

CN Butanoic acid, 4-[6-acetyl-3-[3-[(4-acetyl-3-hydroxy-2-propylphenyl)thio]propoxy]-2-propylphenoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:138760 CAPLUS

DOCUMENT NUMBER: 112:138760

TITLE: Preparation of phenoxyalkylcarboxylic acid derivatives as antiallergic agents

INVENTOR(S): Ohashi, Mitsuo; Awano, Katsuya; Tanaka, Toshio; Kimura, Tetsuya

PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

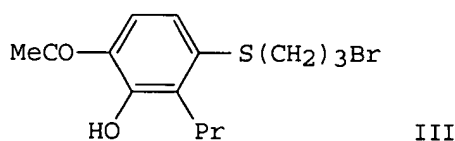
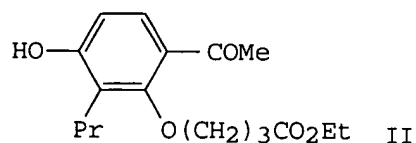
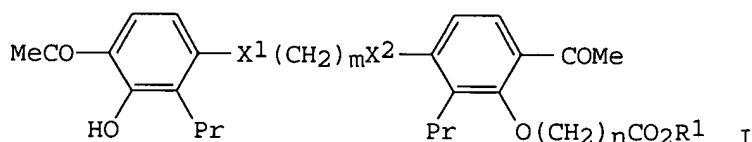
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 332109	A1	19890913	EP 1989-103897	19890306 <--
EP 332109	B1	19911204		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 02001459	A2	19900105	JP 1989-38912	19890218 <--
JP 07116125	B4	19951213		
US 4985585	A	19910115	US 1989-313900	19890223 <--
AU 8930884	A1	19890907	AU 1989-30884	19890301 <--
AU 617439	B2	19911128		
CA 1331763	A1	19940830	CA 1989-592555	19890302 <--
HU 50112	A2	19891228	HU 1989-1039	19890303 <--
HU 204030	B	19911128		
HU 208418	B	19931028	HU 1991-2410	19890303 <--
HU 208524	B	19931129	HU 1991-2411	19890303 <--
ES 2045219	T3	19940116	ES 1989-103897	19890306 <--
CN 1036560	A	19891025	CN 1989-101301	19890307 <--
CN 1022407	B	19931013		

PRIORITY APPLN. INFO.:

JP 1988-53374 A 19880307  
HU 1989-1039 A3 19890303

OTHER SOURCE(S): MARPAT 112:138760

GI



AB The title compds. (I; R1 = H, Me, Et; X1, X2 = O, S, SO, SO2; X1 = X2 ≠ O; m = 2-5; n = 3-8), useful as antiallergic agents, are prepared A mixture of phenoxybutyrate II, bromopropyl thioether III, KI, and K2CO3 in Me2CO was refluxed to give 72.4% I (R1 = Et, X1 = S, X2 = O, m = n = 3). I showed 66.7-96.2% inhibition of leukotriene D4-induced bronchoconstriction at 50 mg/kg p.o. in guinea pigs. Addnl. 70 I were also prepared

IT 125961-82-2P 125961-92-4P 125961-93-5P

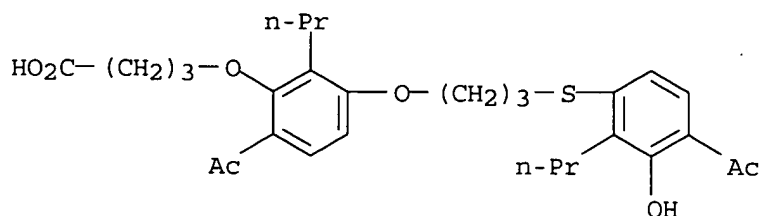
RL: BAC (Biological activity or effector, except adverse); BSU (Biological



study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as antiallergic agent)

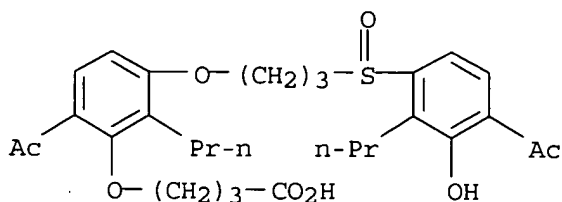
RN 125961-82-2 CAPLUS

CN Butanoic acid, 4-[6-acetyl-3-[3-[(4-acetyl-3-hydroxy-2-propylphenyl)thio]propoxy]-2-propylphenoxy] - (9CI) (CA INDEX NAME)



RN 125961-92-4 CAPLUS

CN Butanoic acid, 4-[6-acetyl-3-[3-[(4-acetyl-3-hydroxy-2-propylphenyl)sulfinyl]propoxy]-2-propylphenoxy] - (9CI) (CA INDEX NAME)



RN 125961-93-5 CAPLUS

CN Butanoic acid, 4-[6-acetyl-3-[3-[(4-acetyl-3-hydroxy-2-propylphenyl)sulfonyl]propoxy]-2-propylphenoxy] - (9CI) (CA INDEX NAME)

